=> file caplus
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FILE COVERS 1907 - 22 Nov 2005 VOL 143 ISS 22
FILE LAST UPDATED: 21 Nov 2005 (20051121/ED)

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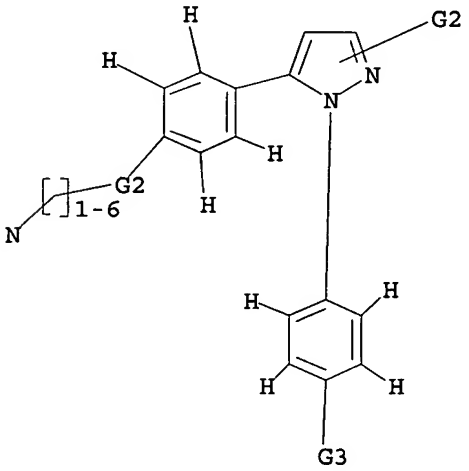
http://www.cas.org/infopolicy.html

=> d que
L5 STR



G1 SO2,O,S

G2 C,O,S,N,X,Cb,CF3,OH,CN,NH2

G3 Ak,X,CN,OH,MeO,NH2

Structure attributes must be viewed using STN Express query preparation.
L7 232 SEA FILE=REGISTRY SSS FUL L5
L8 5 SEA FILE=CAPLUS L7

=> d l8 1-5 ibib abs hitstr

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:493684 CAPLUS
DOCUMENT NUMBER: 141:54327
TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors

10/706,999

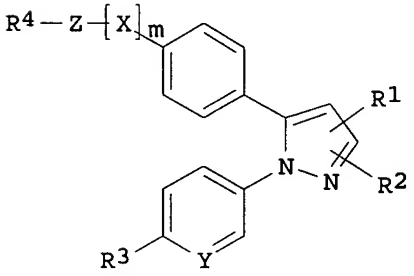
INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 436 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050632	A1	20040617	WO 2003-JP14489	20031114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505945	AA	20040617	CA 2003-2505945	20031114
EP 1567503	A1	20050831	EP 2003-812289	20031114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016332	A	20050927	BR 2003-16332	20031114

PRIORITY APPLN. INFO.:

AU 2002-953019	A	20021202
AU 2002-953602	A	20021230
AU 2003-902015	A	20030429
WO 2003-JP14489	W	20031114

OTHER SOURCE(S): MARPAT 141:54327
GI



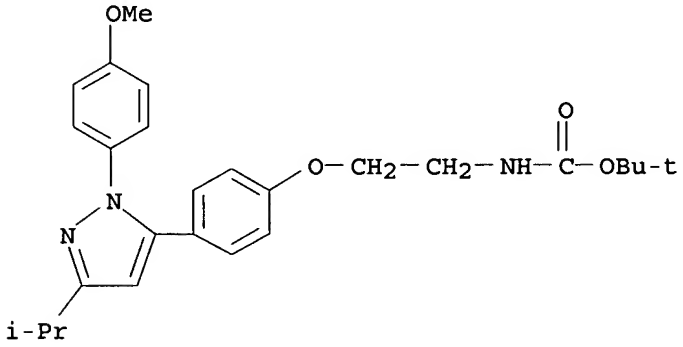
I

AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc. ; R4 = H, CN, OH, etc.; X = O, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

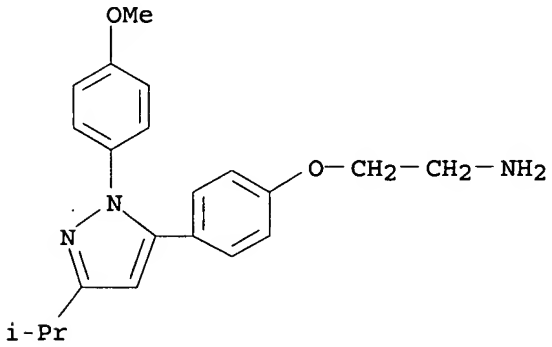
IT **705933-39-7P 705933-40-0P 705933-43-3P**
705933-44-4P 705933-54-6P 705933-55-7P
705933-56-8P 705933-61-5P 705933-62-6P
705933-77-3P 705933-78-4P 705933-91-1P

705934-11-8P 705934-12-9P 705934-18-5P
705934-71-0P 705934-78-7P 705934-81-2P
705934-83-4P 705935-01-9P 705935-20-2P
705935-38-2P 705935-39-3P 705935-62-2P
705935-72-4P 705935-73-5P 705935-76-8P
705935-78-0P 705935-81-5P 705935-87-1P
705936-89-6P 705937-04-8P 705937-90-2P
705937-91-3P 705937-94-6P 705937-95-7P
705938-12-1P 705938-44-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705933-39-7 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

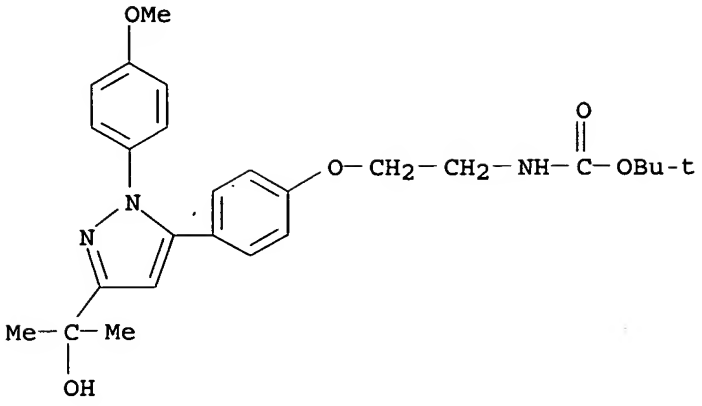


RN 705933-40-0 CAPLUS
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

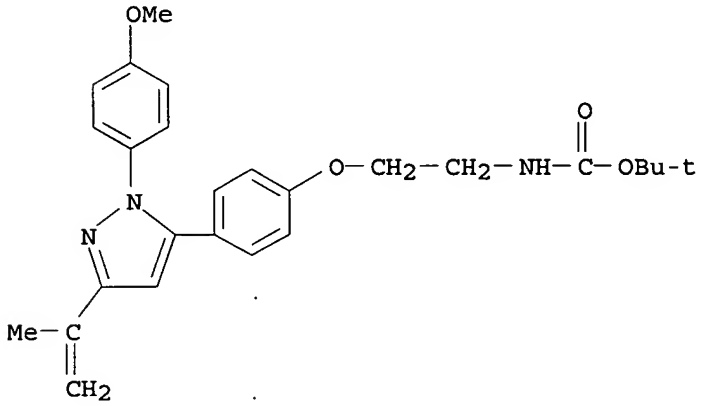


● HCl

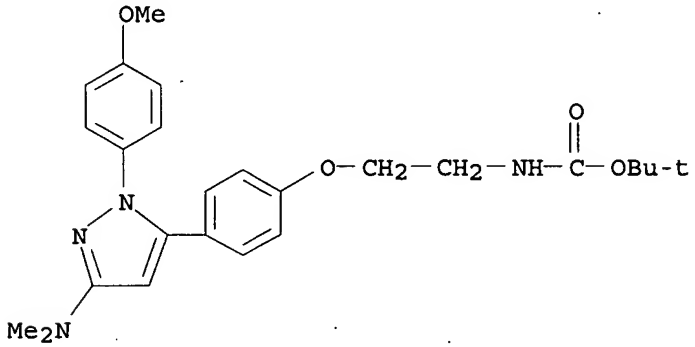
RN 705933-43-3 CAPLUS
CN Carbamic acid, [2-[4-[3-(1-hydroxy-1-methylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



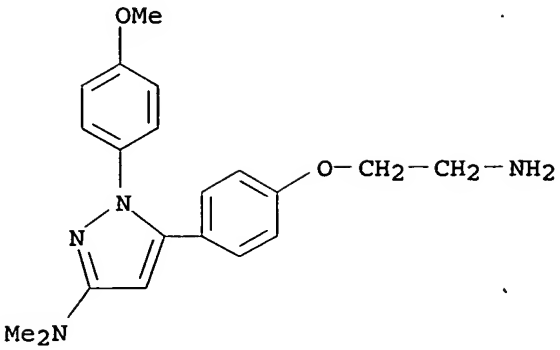
RN 705933-44-4 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 705933-54-6 CAPLUS
CN Carbamic acid, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

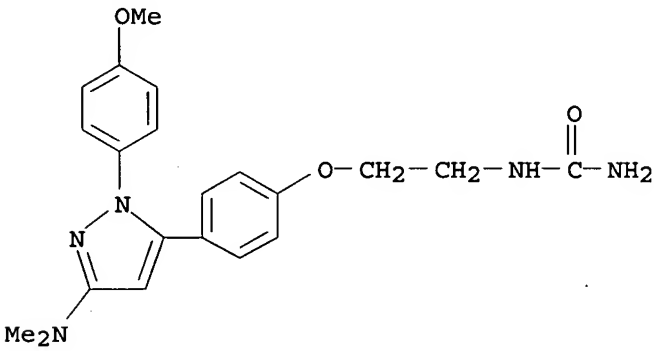


RN 705933-55-7 CAPLUS
CN 1H-Pyrazol-3-amine, 5-[4-(2-aminoethoxy)phenyl]-1-(4-methoxyphenyl)-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

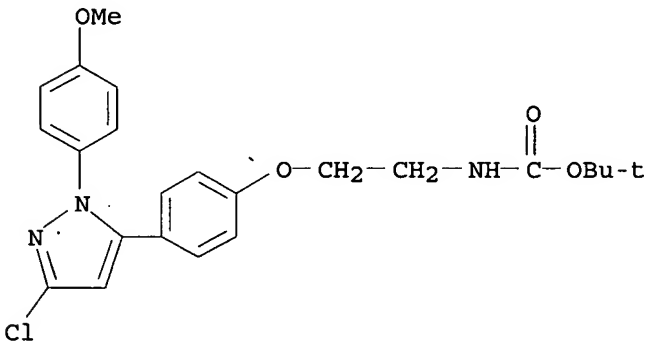


● HCl

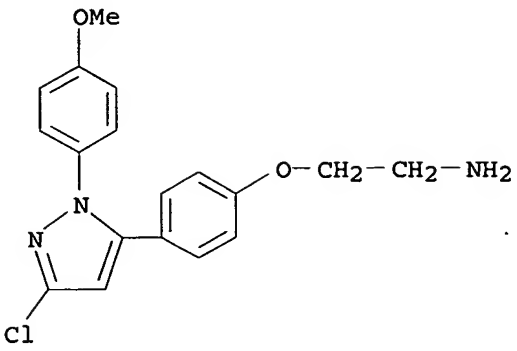
RN 705933-56-8 CAPLUS
CN Urea, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 705933-61-5 CAPLUS
CN Carbamic acid, [2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

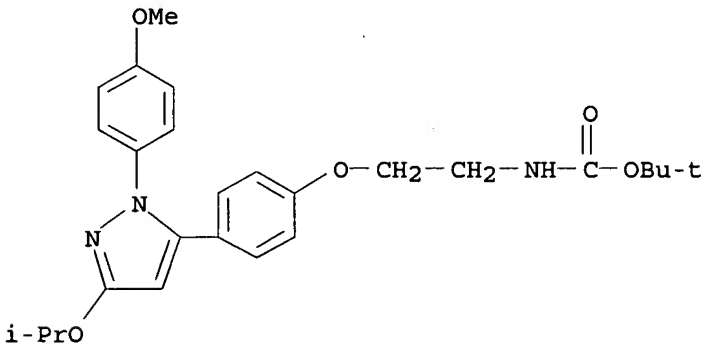


RN 705933-62-6 CAPLUS
CN Ethanamine, 2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

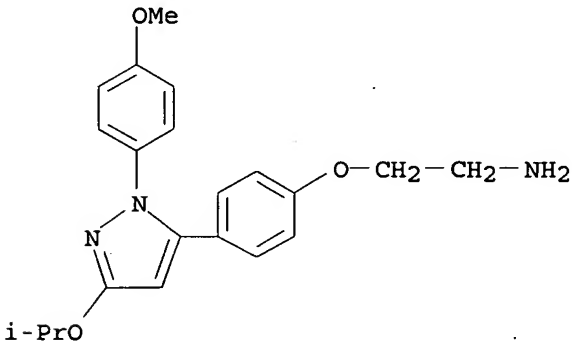


● HCl

RN 705933-77-3 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

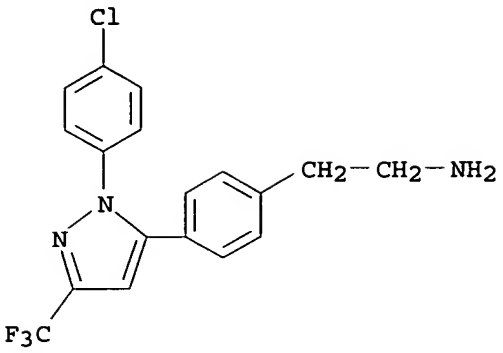


RN 705933-78-4 CAPLUS
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

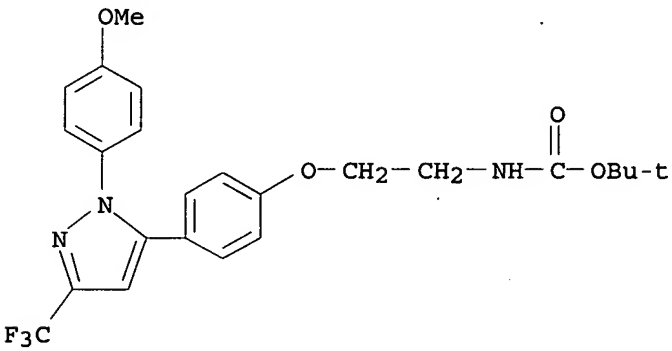


● HCl

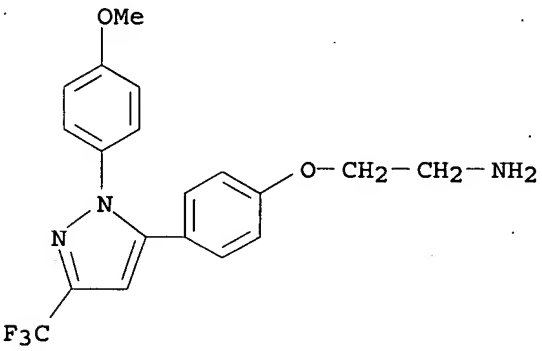
RN 705933-91-1 CAPLUS
CN Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 705934-11-8 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

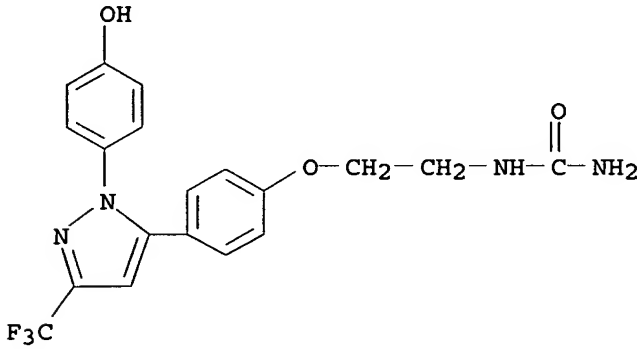


RN 705934-12-9 CAPLUS
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

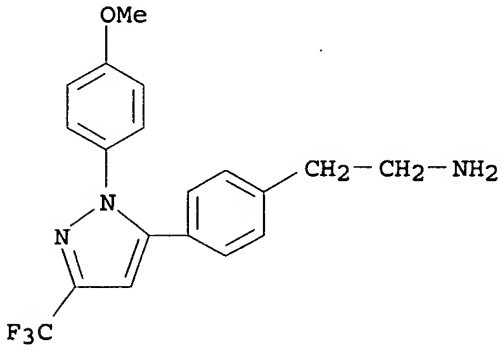


● HCl

RN 705934-18-5 CAPLUS
CN Urea, [2-[4-[1-(4-hydroxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

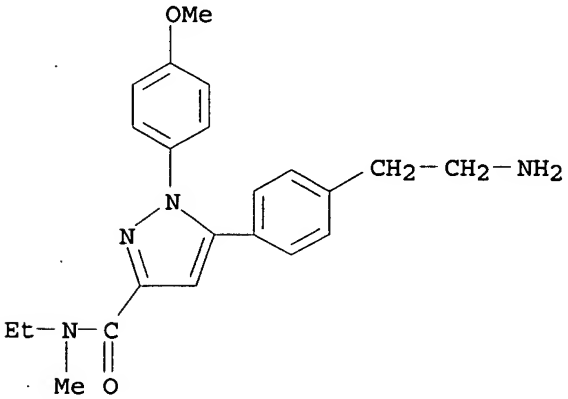


RN 705934-71-0 CAPLUS
CN Benzeneethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

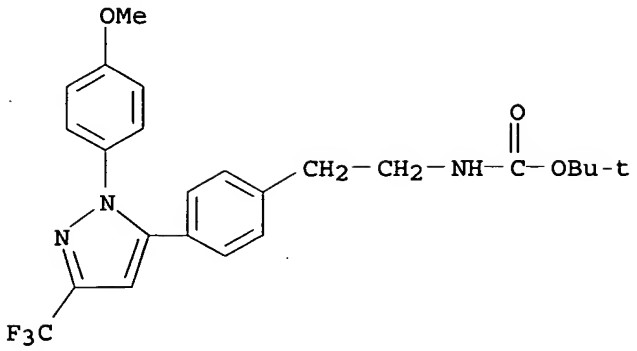


● HCl

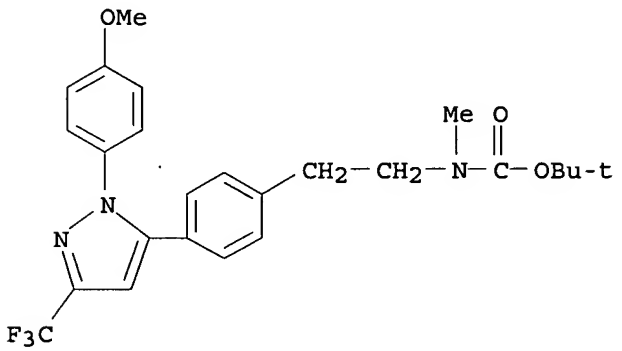
RN 705934-78-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-[4-(2-aminoethyl)phenyl]-N-ethyl-1-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



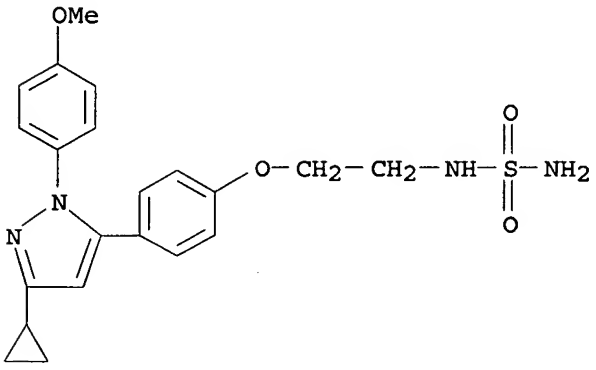
RN 705934-81-2 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 705934-83-4 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]ethyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

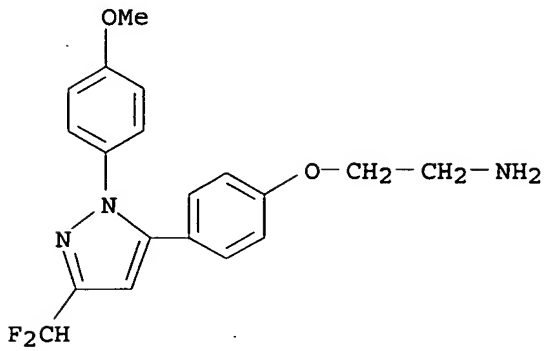


RN 705935-01-9 CAPLUS
CN Sulfamide, [2-[4-[3-cyclopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 705935-20-2 CAPLUS
CN Carbamic acid, [2-[[2-[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]ethyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

yl]phenoxy]- (9CI) (CA INDEX NAME)

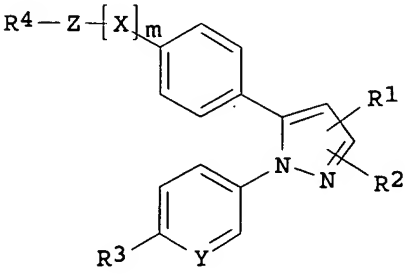


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:493568 CAPLUS
DOCUMENT NUMBER: 141:54325
TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors
INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 142 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004116475	A1	20040617	US 2003-706999		20031114
PRIORITY APPLN. INFO.:			AU 2002-953019	A	20021202
			AU 2002-953602	A	20021230
			AU 2003-902015	A	20030429

OTHER SOURCE(S): MARPAT 141:54325
GI



I

AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc. ; R4 = H, CN, OH, etc.; X = O, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX,

particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

IT 705933-39-7P 705933-40-0P 705933-43-3P
705933-44-4P 705933-54-6P 705933-55-7P
705933-56-8P 705933-61-5P 705933-62-6P
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705934-83-4P 705935-01-9P 705935-20-2P
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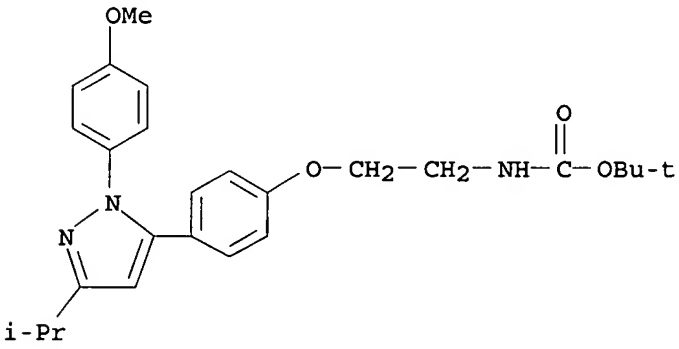
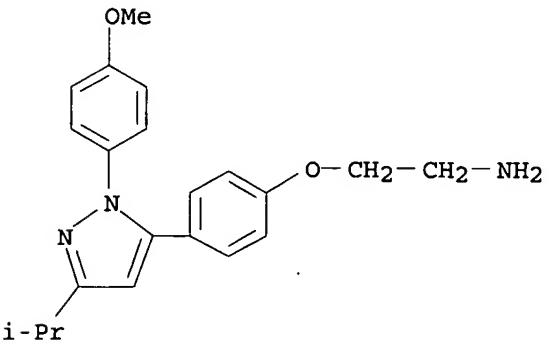
705935-72-4P 705935-73-5P 705935-76-8P
705935-78-0P 705935-81-5P 705935-87-1P
705936-89-6P 705937-04-8P 705937-90-2P
705937-91-3P 705937-94-6P 705937-95-7P
705938-12-1P 705938-44-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705933-39-7 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



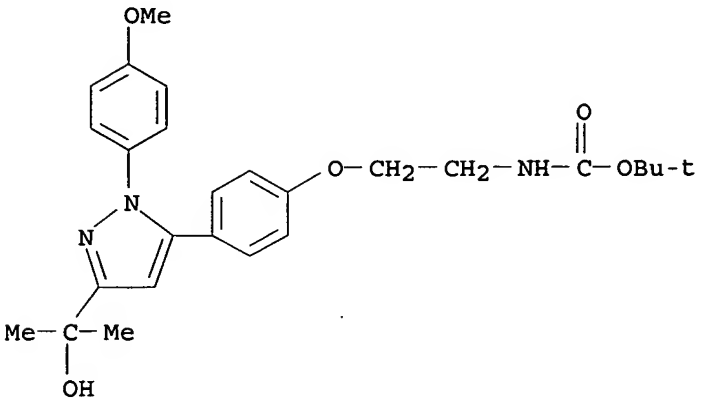
RN 705933-40-0 CAPLUS
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



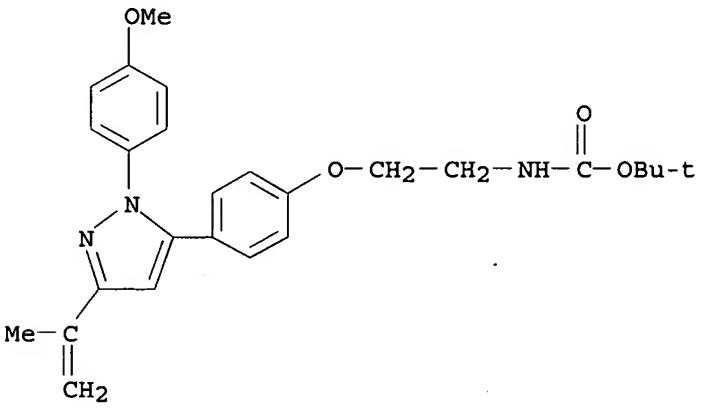
● HCl

RN 705933-43-3 CAPLUS

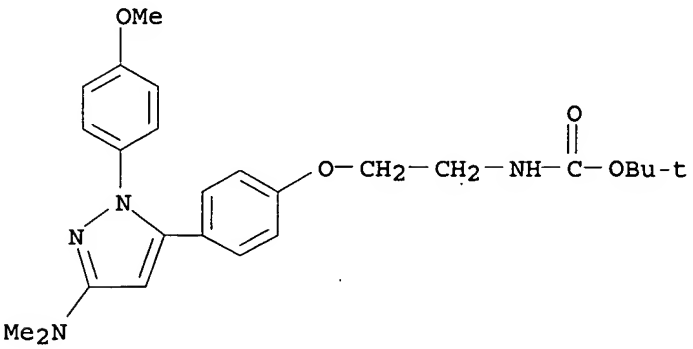
CN Carbamic acid, [2-[4-[3-(1-hydroxy-1-methylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 705933-44-4 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

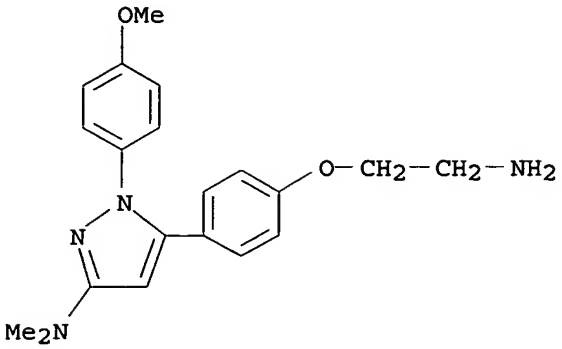


RN 705933-54-6 CAPLUS
CN Carbamic acid, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



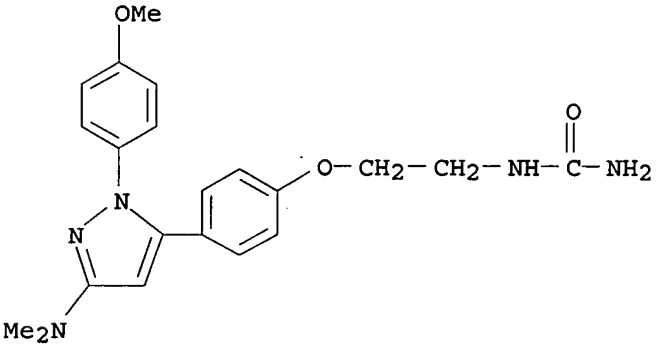
RN 705933-55-7 CAPLUS
CN 1H-Pyrazol-3-amine, 5-[4-(2-aminoethoxy)phenyl]-1-(4-methoxyphenyl)-N,N-

dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

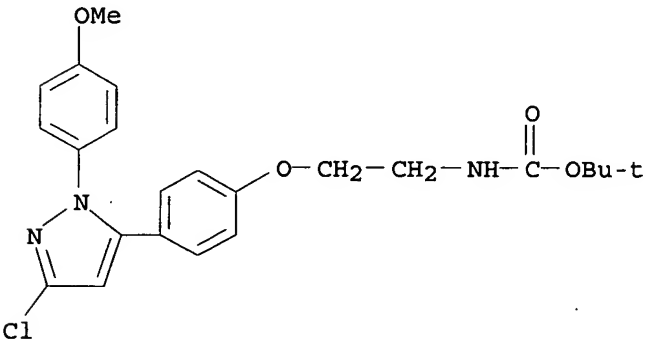


● HCl

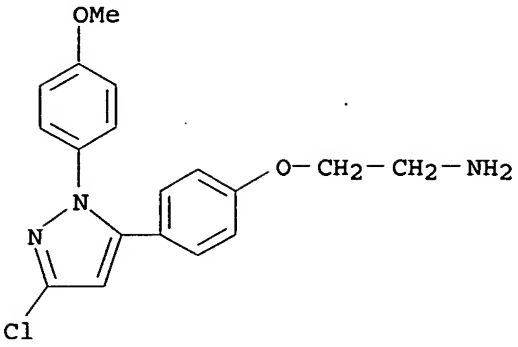
RN 705933-56-8 CAPLUS
CN Urea, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 705933-61-5 CAPLUS
CN Carbamic acid, [2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

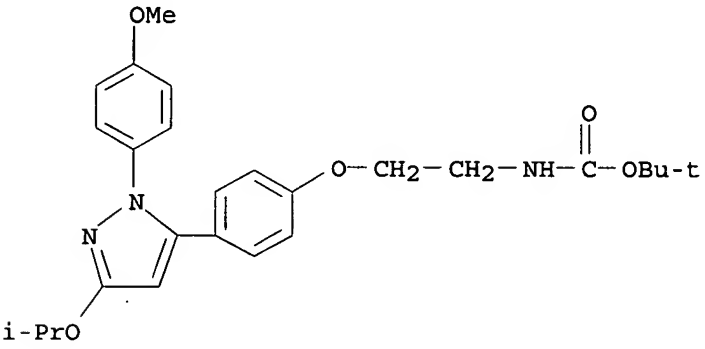


RN 705933-62-6 CAPLUS
CN Ethanamine, 2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

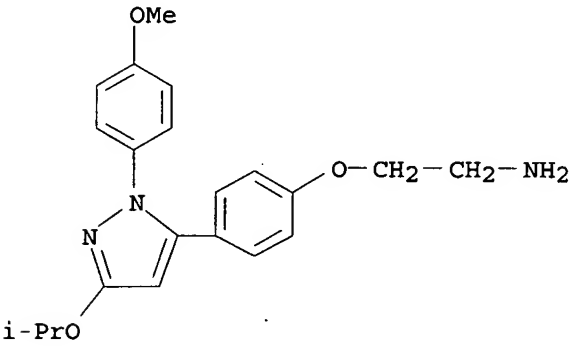


● HCl

RN 705933-77-3 CAPLUS
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



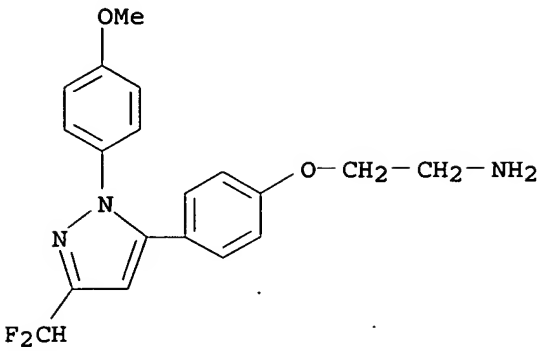
RN 705933-78-4 CAPLUS
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethoxy)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 705933-91-1 CAPLUS

yl]phenoxy]- (9CI) (CA INDEX NAME)

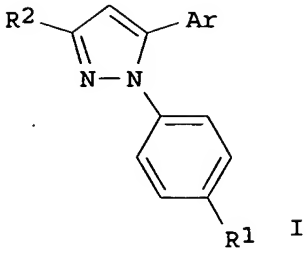


L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:836766 CAPLUS
DOCUMENT NUMBER: 139:350731
TITLE: Preparation of 1-phenyl-1H-pyrazoles for inducing apoptosis in proliferating cells
INVENTOR(S): Chen, Ching-shin; Song, Xueqin; Lin, Ho-pi
PATENT ASSIGNEE(S): The Ohio State University Research Foundation, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086287	A2	20031023	WO 2003-US10738	20030408
WO 2003086287	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485679	AA	20031023	CA 2003-2485679	20030408
US 2003236294	A1	20031225	US 2003-409502	20030408
EP 1499597	A2	20050126	EP 2003-723936	20030408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005528384	T2	20050922	JP 2003-583314	20030408

PRIORITY APPLN. INFO.: US 2002-370664P P 20020408
WO 2003-US10738 W 20030408

OTHER SOURCE(S): MARPAT 139:350731
GI



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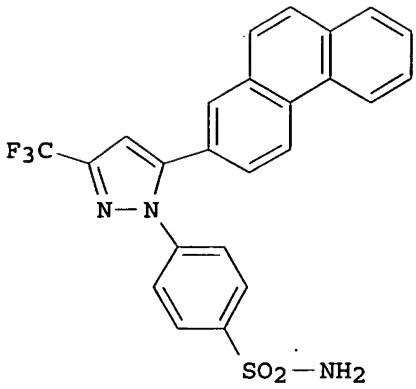


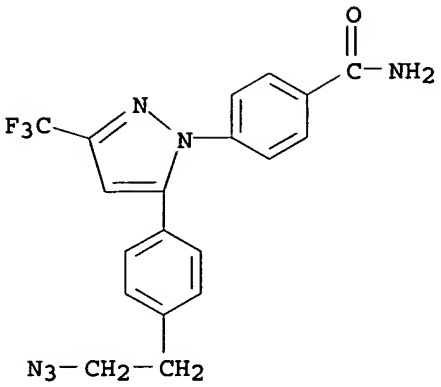
II

AB Title compds. I [wherein R1 = carboxamido; R2 = (halo)alkyl; Ar = (un)substituted Ph biphenyl, naphthyl, anthryl, phenanthrenyl, or fluorenyl; and pharmaceutically acceptable salts thereof] were prepared and tested for their effects on cyclooxygenase-2 (COX-2) activity, the viability of human prostate cancer PC-3 cells, and their ability to induce apoptosis in these cells. For example, Claisen condensation of 2-acetylphenanthrene with Et trifluoroacetate in the presence of NaH afforded the 1,3-keto-enol derivative (95%). Reaction with (4-sulfamoylphenyl)hydrazine•HCl in EtOH gave 4-[5-(2-phenanthrenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (II) in 65% yield. A structure-activity anal. of derivs. of the COX-2 inhibitor celecoxib found no correlation between the COX-2 inhibitory and apoptosis-inducing activities. For instance, increased polarity or bulkiness of the terminal Ph ring reduced the ability of compds. to inhibit COX-2, while a certain degree of bulkiness and hydrophobicity in the substituted Ph ring was highly desirable for apoptosis induction in PC-3 cells. Thus, I are useful for inducing apoptosis in proliferating cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-small cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compds. are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer.

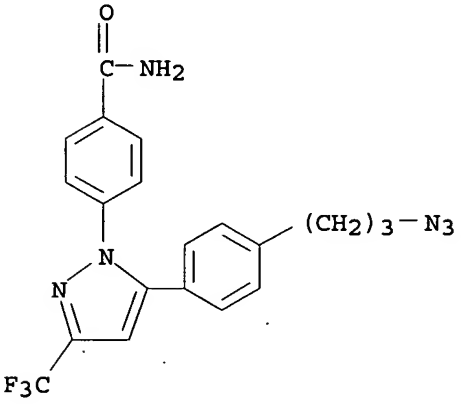
IT **618069-19-5P 618069-20-8P 618069-21-9P 618069-23-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

RN 618069-19-5 CAPLUS

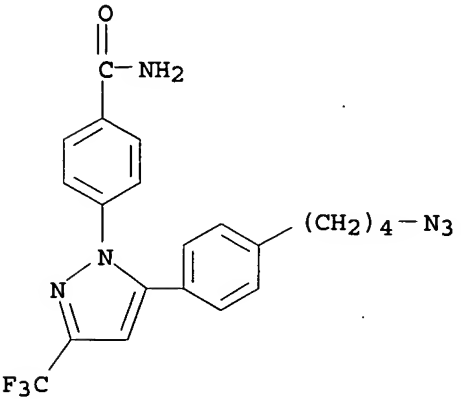
CN Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



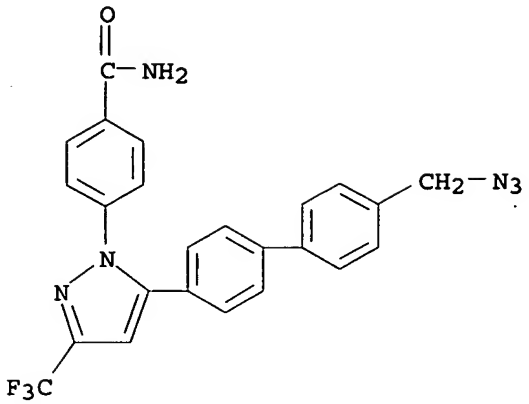
RN 618069-20-8 CAPLUS
CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-21-9 CAPLUS
CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-23-1 CAPLUS
CN Benzamide, 4-[5-[4'-(azidomethyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

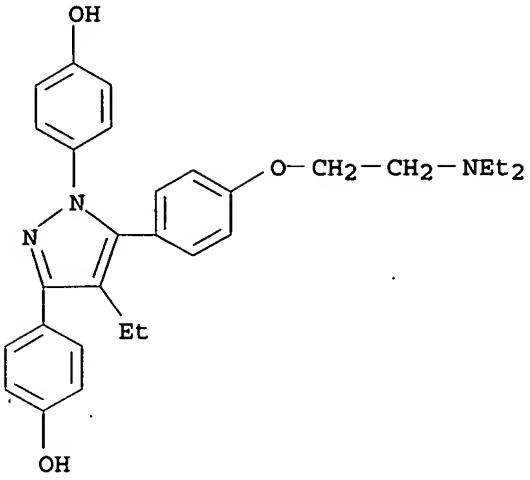


L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:183105 CAPLUS
DOCUMENT NUMBER: 137:41847
TITLE: Antagonists selective for estrogen receptor α
AUTHOR(S): Sun, Jun; Huang, Ying R.; Harrington, William R.; Sheng, Shubin; Katzenellenbogen, John A.; Katzenellenbogen, Benita S.
CORPORATE SOURCE: Departments of Molecular and Integrative Physiology, University of Illinois and University of Illinois College of Medicine, Urbana, IL, 61801, USA
SOURCE: Endocrinology (2002), 143(3), 941-947
CODEN: ENDOAO; ISSN: 0013-7227
PUBLISHER: Endocrine Society
DOCUMENT TYPE: Journal
LANGUAGE: English

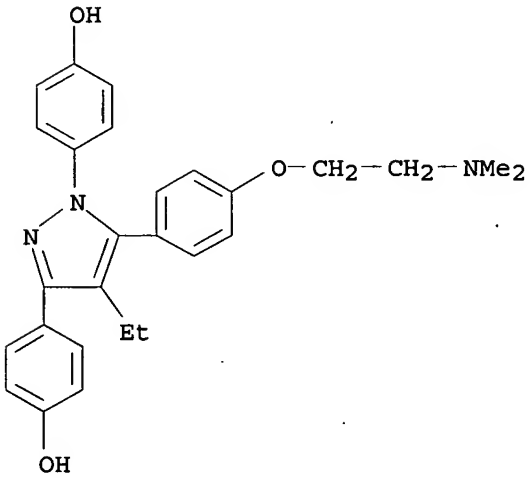
AB To develop compds. that are antagonists on ERα, but not ERβ, we have added basic side-chains typically found in nonsteroidal antiestrogens to pyrazole compds. that bind with much higher affinity to ERα than to ERβ. In this way we have developed basic side-chain pyrazoles (BSC-pyrazoles) that are high affinity, potent, selective antagonists on ERα. These BSC-pyrazoles are themselves inactive on ERα and ERβ, and they antagonize E2 stimulation by ERα only. We investigated seven basic side-chain substituents on various alkyl-triaryl-substituted pyrazoles, and the most ERα-selective compound was methyl-piperidino-pyrazole (MPP). ERα-selective antagonism was observed on diverse reporter-promoter gene constructs containing estrogen response elements that are consensus, non-consensus (pS2), or comprised of multiple half-estrogen response elements (NHERF/EBP50) and on genes in which ER works indirectly by tethering to other DNA-bound proteins (TGFβ3). In contrast to these BSC-pyrazoles, the antiestrogens trans-hydroxytamoxifen, raloxifene, and ICI 182, 780 suppress E2 activity via both ERα and ERβ. The most effective BSC-pyrazole, MPP, fully antagonized E2 stimulation of pS2 mRNA in MCF-7 breast cancer cells, consistent with the fact that these cells contain almost exclusively ERα. These compds. should be useful in studying the biol. functions of ERα and ERβ and in selectively blocking responses that are mediated through ERα.

IT **289726-05-2 289726-06-3 438188-19-3**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(antagonists selective for estrogen receptor α)

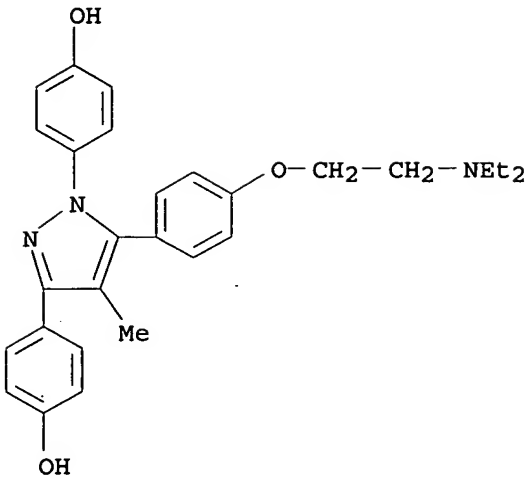
RN 289726-05-2 CAPLUS
CN Phenol, 4,4'-[5-[4-[2-(diethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole-1,3-diyl]bis- (9CI) (CA INDEX NAME)



RN 289726-06-3 CAPLUS
CN Phenol, 4,4'-[5-[4-[2-(dimethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole-1,3-diyl]bis- (9CI) (CA INDEX NAME)



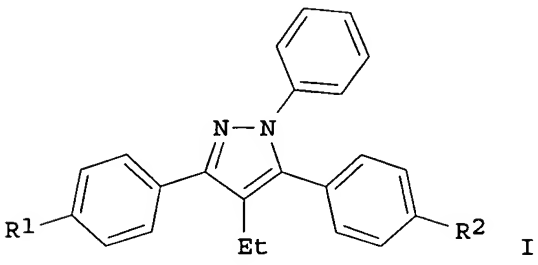
RN 438188-19-3 CAPLUS
CN Phenol, 4,4'-[5-[4-[2-(diethylamino)ethoxy]phenyl]-4-methyl-1H-pyrazole-1,3-diyl]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:567788 CAPLUS
DOCUMENT NUMBER: 133:207842
TITLE: Regioselective synthesis of 1,3,5-triaryl-4-alkylpyrazoles: novel ligands for the estrogen receptor
AUTHOR(S): Huang, Ying R.; Katzenellenbogen, John A.
CORPORATE SOURCE: Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA
SOURCE: Organic Letters (2000), 2(18), 2833-2836
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:207842
GI

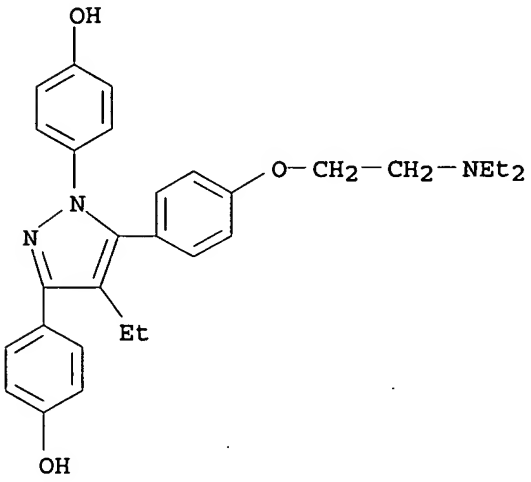


I

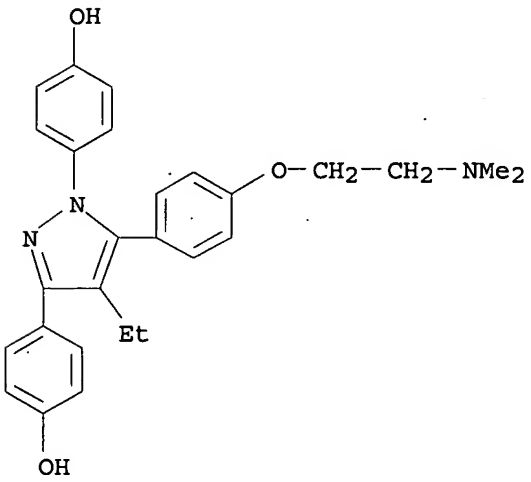
AB A regioselective synthesis of 4-alkyl-1,3,5-triarylpyrazoles I (R1 = OH, R2 = H; R1 = H, R2 = OH) has been developed for the preparation of unsym. substituted systems of interest as ligands for the estrogen receptor. Thus, cyclization of 4-R1C6H4COCH:CHC6H4R4-4 (R1 = OMe R2 = H; R1 = H, R2 = OMe) with PhNHNH2 gave the pyrazolines, which were ethylated in the 4-position followed by oxidation and demethylation to give I n 79-100% yield.

IT **289726-05-2P 289726-06-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(regioselective synthesis of triarylalkylpyrazole estrogen receptor ligands)

RN 289726-05-2 CAPLUS
CN Phenol, 4,4'-[5-[4-[2-(diethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole-1,3-diyl]bis- (9CI) (CA INDEX NAME)



RN 289726-06-3 CAPLUS
CN Phenol, 4,4'-[5-[4-[2-(dimethylamino)ethoxy]phenyl]-4-ethyl-1H-pyrazole-1,3-diyl]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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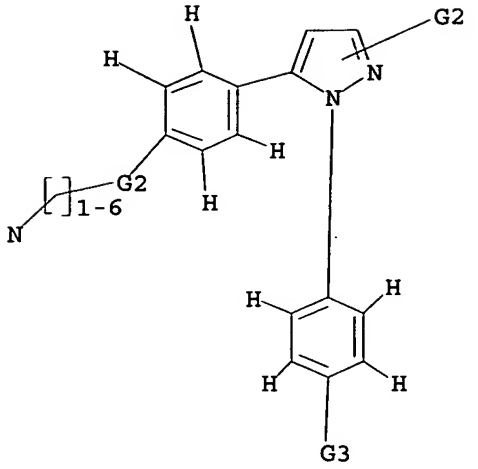
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:19:18 ON 22 NOV 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que
L5 STR



G1 SO2,O,S

G2 C,O,S,N,X,Cb,CF3,OH,CN,NH2

G3 Ak,X,CN,OH,MeO,NH2

Structure attributes must be viewed using STN Express query preparation.
L7 232 SEA FILE=REGISTRY SSS FUL L5
L9 2 SEA L7

=> d l9 1-2 ibib abs hitstr

L9 ANSWER 1 OF 2 USPATFULL on STN
ACCESSION NUMBER: 2004:152253 USPATFULL
TITLE: Pyrazole derivatives
INVENTOR(S): Shirai, Fumiyuki, Osaka, JAPAN
Azami, Hidenori, Osaka, JAPAN
Kayakiri, Natsuko, Osaka, JAPAN
Okumura, Kazuo, Osaka, JAPAN
Nakamura, Katsuya, Osaka, JAPAN
PATENT ASSIGNEE(S): FUJISAWA PHARMACEUTICAL CO., LTD., Osaka-shi, JAPAN
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116475	A1	20040617
APPLICATION INFO.:	US 2003-706999	A1	20031114 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	AU 2002-2002953019	20021202
	AU 2002-2002953602	20021230
	AU 2003-2003902015	20030429

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940 DUKE STREET, ALEXANDRIA, VA, 22314
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 9237
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A compound of the formula (I): ##STR1##

wherein R.sup.1 is hydrogen or lower alkyl;

R.sup.2 is lower alkyl, etc.;

R.sup.3 is lower alkoxy, etc.;

R.sup.4 is hydroxy, etc.;

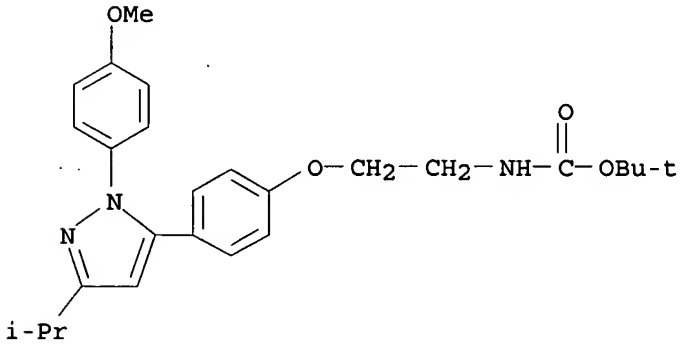
X is O, S, etc.;

Y is CH or N;

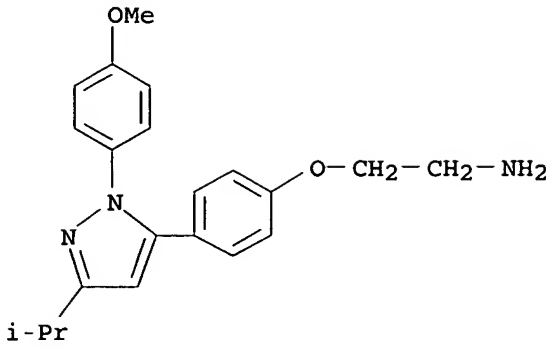
Z is lower alkylene or lower alkenylene; and

m is 0 or 1; or salts thereof, which are useful as a medicament.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 705933-39-7P 705933-40-0P 705933-43-3P
705933-44-4P 705933-54-6P 705933-55-7P
705933-56-8P 705933-61-5P 705933-62-6P
705933-77-3P 705933-78-4P 705933-91-1P
705934-11-8P 705934-12-9P 705934-18-5P
705934-71-0P 705934-78-7P 705934-81-2P
705934-83-4P 705935-01-9P 705935-20-2P
705935-38-2P 705935-39-3P 705935-62-2P
705935-72-4P 705935-73-5P 705935-76-8P
705935-78-0P 705935-81-5P 705935-87-1P
705936-89-6P 705937-04-8P 705937-90-2P
705937-91-3P 705937-94-6P 705937-95-7P
705938-12-1P 705938-44-9P
(preparation of pyrazole derivs. useful as COX-1 inhibitors)
RN 705933-39-7 USPATFULL
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

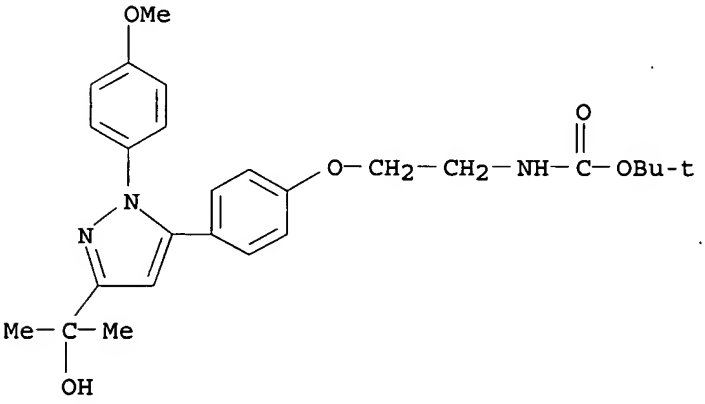


RN 705933-40-0 USPATFULL
CN Ethanamine, 2-[4-[1-(4-methoxyphenyl)-3-(1-methylethyl)-1H-pyrazol-5-yl]phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

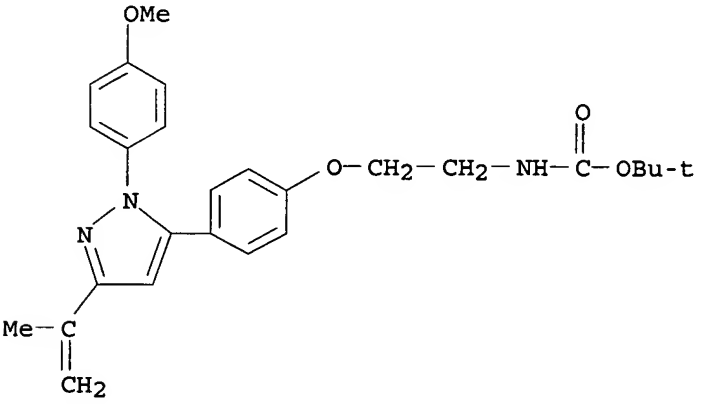


● HCl

RN 705933-43-3 USPATFULL
CN Carbamic acid, [2-[4-[3-(1-hydroxy-1-methylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

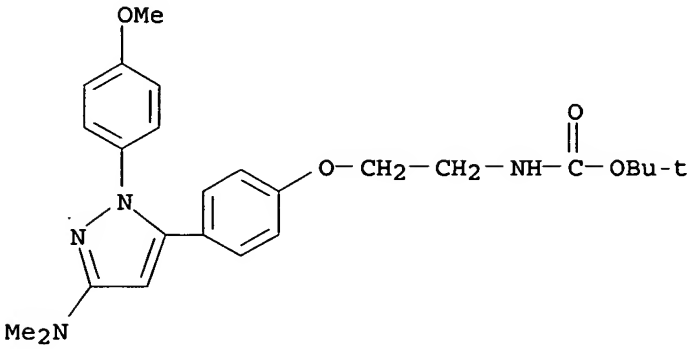


RN 705933-44-4 USPATFULL
CN Carbamic acid, [2-[4-[1-(4-methoxyphenyl)-3-(1-methylethenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

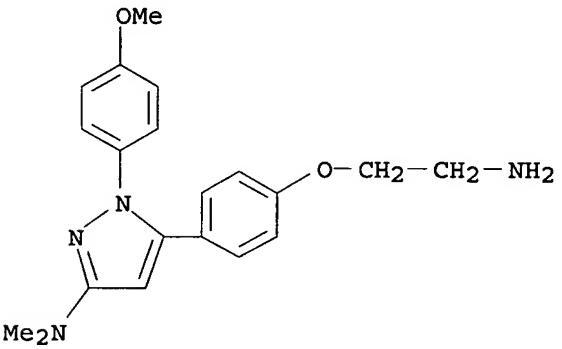


RN 705933-54-6 USPATFULL

CN Carbamic acid, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

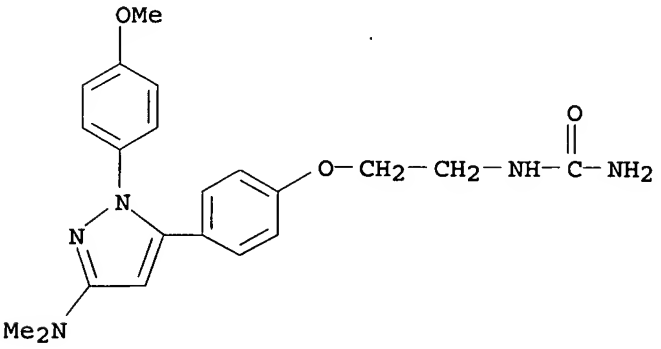


RN 705933-55-7 USPATFULL
CN 1H-Pyrazol-3-amine, 5-[4-(2-aminoethoxy)phenyl]-1-(4-methoxyphenyl)-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



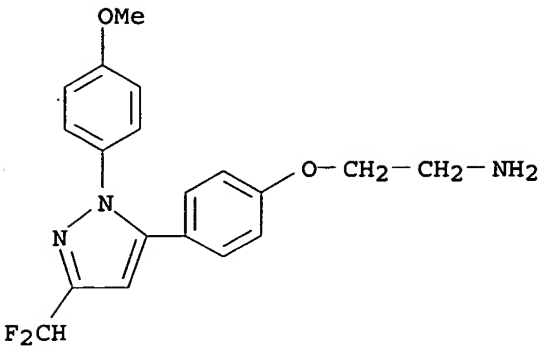
● HCl

RN 705933-56-8 USPATFULL
CN Urea, [2-[4-[3-(dimethylamino)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 705933-61-5 USPATFULL
CN Carbamic acid, [2-[4-[3-chloro-1-(4-methoxyphenyl)-1H-pyrazol-5-

yl]phenoxy]- (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER:	2003:335416 USPATFULL		
TITLE:	Compounds and methods for inducing apoptosis in proliferating cells		
INVENTOR(S):	Chen, Ching-Shih, Upper Arlington, OH, UNITED STATES Song, Xueqin, Ypsilanti, MI, UNITED STATES Lin, Ho-Pi, Columbus, OH, UNITED STATES		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003236294	A1	20031225
APPLICATION INFO.:	US 2003-409502	A1	20030408 (10)
	NUMBER		DATE
PRIORITY INFORMATION:	US 2002-370664P		20020408 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	CALFEE HALTER & GRISWOLD, LLP, 800 SUPERIOR AVENUE, SUITE 1400, CLEVELAND, OH, 44114		
NUMBER OF CLAIMS:	28		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	12 Drawing Page(s)		
LINE COUNT:	2525		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

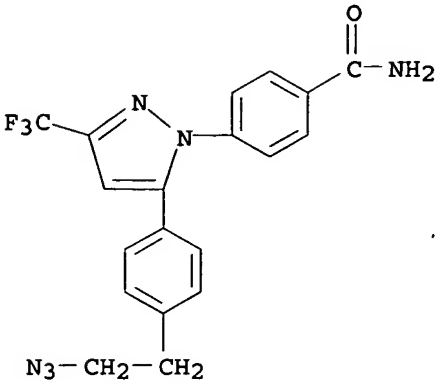
AB Compounds useful for inducing apoptosis in proliferative cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-smalll cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compounds are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer. Further provided are methods of treating cancer in a subject in need of such treatment using the compounds of the present invention. Further provided are methods for using the compounds of the present invention to treat, inhibit, or delay the onset of cancer in a subject. Further provided are methods of inducing apoptosis in rapidly proliferating cells, particularly, though not necessarily cancer cells, using the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

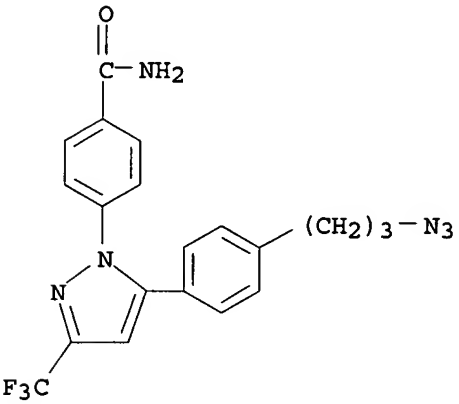
IT **618069-19-5P 618069-20-8P 618069-21-9P**
618069-23-1P
(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

RN 618069-19-5 USPATFULL

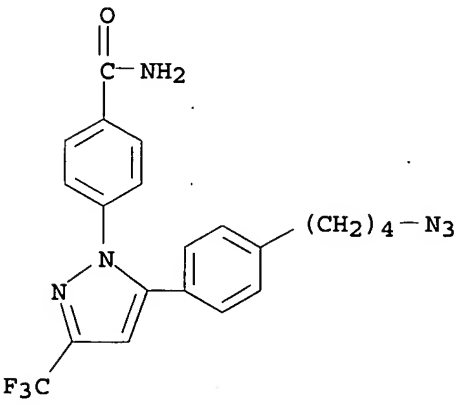
CN Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-20-8 USPATFULL
CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

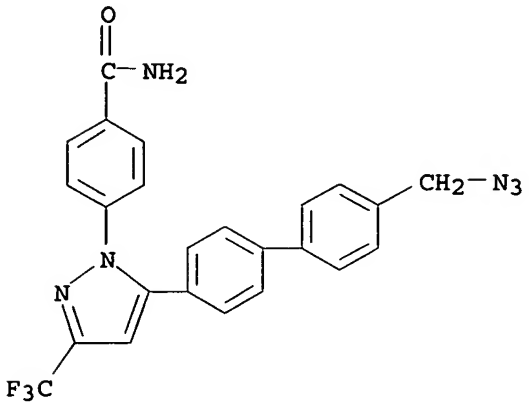


RN 618069-21-9 USPATFULL
CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-23-1 USPATFULL

CN Benzamide, 4-[5-[4'-(azidomethyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



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=> file caplus
FILE 'CAPLUS' ENTERED AT 14:45:53 ON 22 NOV 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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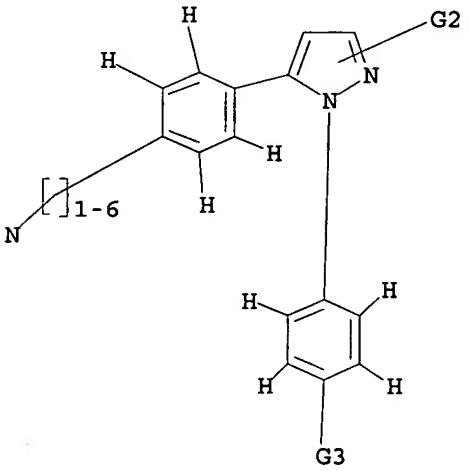
FILE COVERS 1907 - 22 Nov 2005 VOL 143 ISS 22
FILE LAST UPDATED: 21 Nov 2005 (20051121/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d que
L1 STR



G1 SO2,O,S

G2 C,O,S,N,X,Cb,CF3,OH,CN,NH2

G3 Ak,X,CN,OH,MeO,NH2

Structure attributes must be viewed using STN Express query preparation.
L3 118 SEA FILE=REGISTRY SSS FUL L1
L4 5 SEA FILE=CAPLUS L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:493684 CAPLUS
DOCUMENT NUMBER: 141:54327
TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors

10/706,999

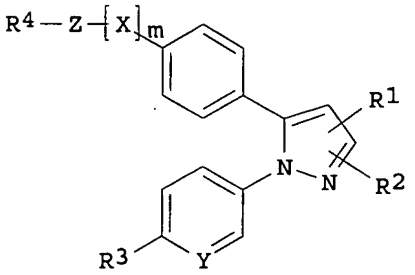
INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 436 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050632	A1	20040617	WO 2003-JP14489	20031114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505945	AA	20040617	CA 2003-2505945	20031114
EP 1567503	A1	20050831	EP 2003-812289	20031114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016332	A	20050927	BR 2003-16332	20031114

PRIORITY APPLN. INFO.:

AU 2002-953019	A	20021202
AU 2002-953602	A	20021230
AU 2003-902015	A	20030429
WO 2003-JP14489	W	20031114

OTHER SOURCE(S): MARPAT 141:54327
GI



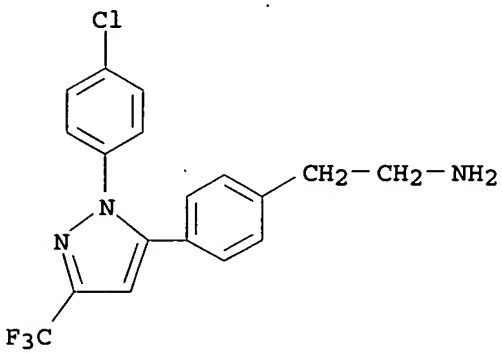
I

AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc. ; R4 = H, CN, OH, etc.; X = O, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

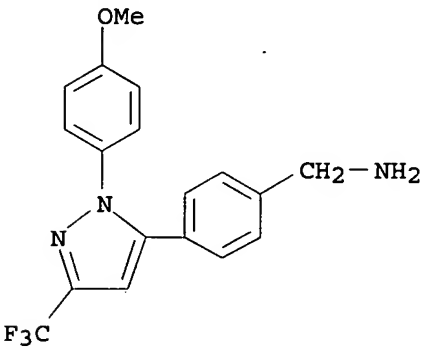
IT **705933-91-1P 705933-95-5P 705933-98-8P**
705934-02-7P 705934-22-1P 705934-71-0P
705934-78-7P 705934-81-2P 705934-83-4P
705935-20-2P 705936-18-1P 705937-86-6P

705938-44-9P 705938-83-6P 705938-87-0P
705938-89-2P 705939-15-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazole derivs. useful as COX-1 inhibitors)

RN 705933-91-1 CAPLUS
CN Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

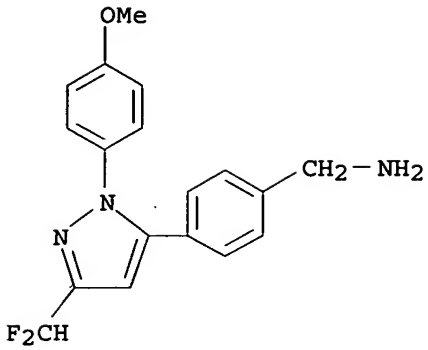


RN 705933-95-5 CAPLUS
CN Benzenemethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



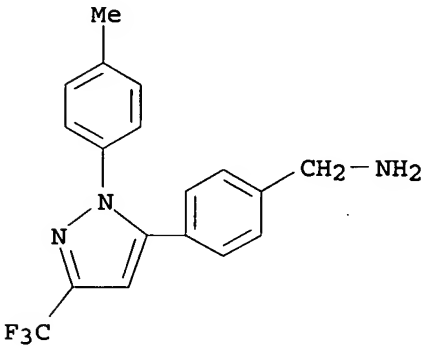
●2 HCl

RN 705933-98-8 CAPLUS
CN Benzenemethanamine, 4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



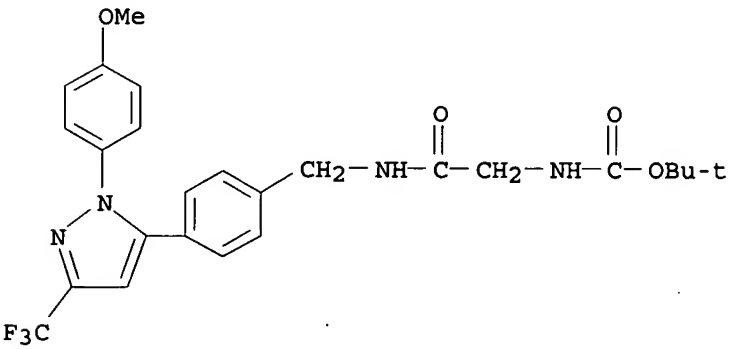
● HCl

RN 705934-02-7 CAPLUS
CN Benzenemethanamine, 4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

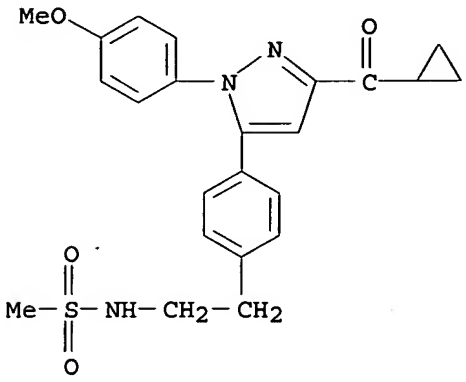


● HCl

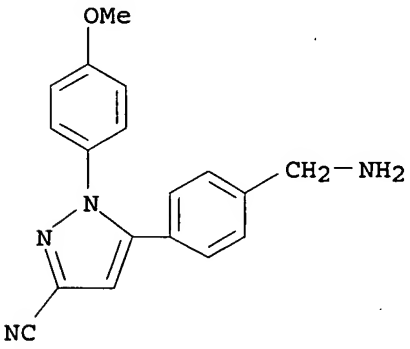
RN 705934-22-1 CAPLUS
CN Carbamic acid, [2-[[[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



CN Methanesulfonamide, N-[2-[4-[3-(cyclopropylcarbonyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



IT 705940-22-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazole derivs. useful as COX-1 inhibitors)
RN 705940-22-3 CAPLUS
CN 1H-Pyrazole-3-carbonitrile, 5-[4-(aminomethyl)phenyl]-1-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

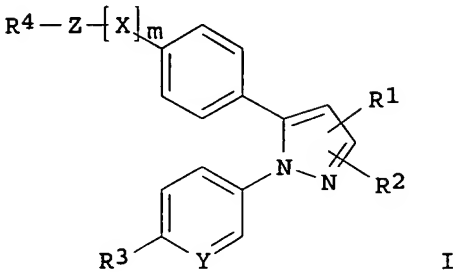
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:493568 CAPLUS
DOCUMENT NUMBER: 141:54325
TITLE: Preparation of pyrazole derivatives useful as COX-1 inhibitors
INVENTOR(S): Shirai, Fumiyuki; Azami, Hidenori; Kayakiri, Natsuko; Okumura, Kazuo; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 142 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116475	A1	20040617	US 2003-706999	20031114

PRIORITY APPLN. INFO.: AU 2002-953019 A 20021202
AU 2002-953602 A 20021230
AU 2003-902015 A 20030429

OTHER SOURCE(S): MARPAT 141:54325

GI



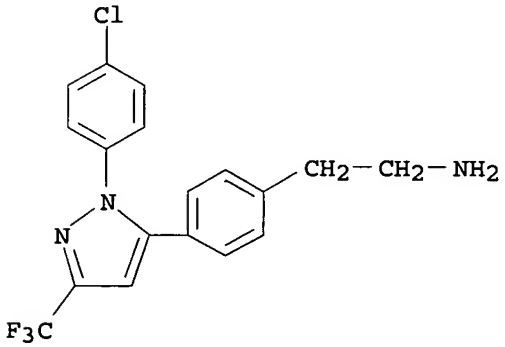
I

AB The compds. [I; R1 = H, alkyl; R2 = alkyl, haloalkyl, hydroxyalkyl, etc.; R3 = alkoxy, halo, CN, etc. ; R4 = H, CN, OH, etc.; X = O, S, SO, SO2; Y = CH, N; Z = alkylene, alkenylene; m = 0-1], were prepared E.g., a 3-step synthesis of 4-[3-isopropyl-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenol, was given. The compds. I have an inhibiting activity against COX, particularly a selective inhibiting activity against COX-1 (data for representative compds. I is given). The pharmaceutical composition comprising the compound I is claimed.

IT **705933-91-1P 705933-95-5P 705933-98-8P**
705934-02-7P 705934-22-1P 705934-71-0P
705934-78-7P 705934-81-2P 705934-83-4P
705935-20-2P 705936-18-1P 705937-86-6P
705938-44-9P 705938-83-6P 705938-87-0P
705938-89-2P 705939-15-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazole derivs. useful as COX-1 inhibitors)

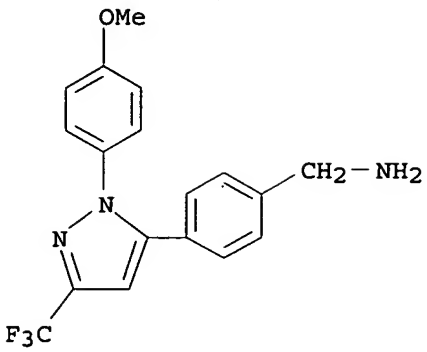
RN 705933-91-1 CAPLUS

CN Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



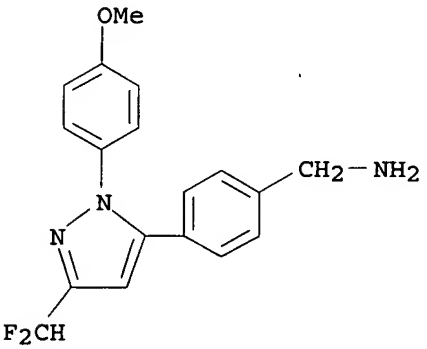
RN 705933-95-5 CAPLUS

CN Benzenemethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



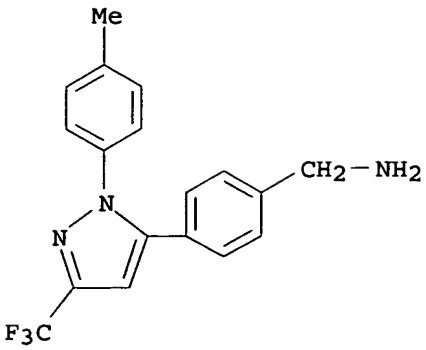
●2 HCl

RN 705933-98-8 CAPLUS
CN Benzenemethanamine, 4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



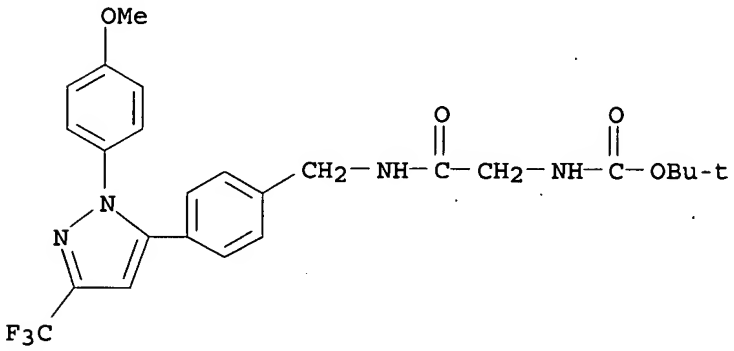
● HCl

RN 705934-02-7 CAPLUS
CN Benzenemethanamine, 4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

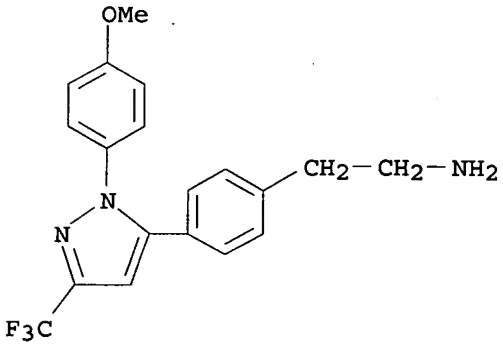


● HCl

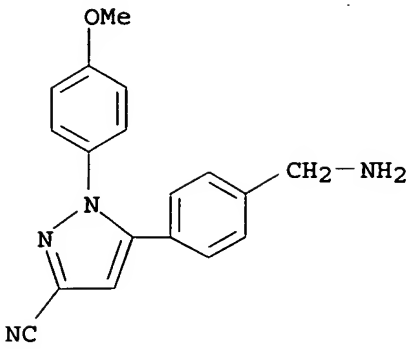
RN 705934-22-1 CAPLUS
CN Carbamic acid, [2-[[[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 705934-71-0 CAPLUS
CN Benzeneethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:836766 CAPLUS
DOCUMENT NUMBER: 139:350731
TITLE: Preparation of 1-phenyl-1H-pyrazoles for inducing apoptosis in proliferating cells
INVENTOR(S): Chen, Ching-shin; Song, Xueqin; Lin, Ho-pi
PATENT ASSIGNEE(S): The Ohio State University Research Foundation, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086287	A2	20031023	WO 2003-US10738	20030408
WO 2003086287	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485679	AA	20031023	CA 2003-2485679	20030408
US 2003236294	A1	20031225	US 2003-409502	20030408
EP 1499597	A2	20050126	EP 2003-723936	20030408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005528384	T2	20050922	JP 2003-583314	20030408

PRIORITY APPLN. INFO.: US 2002-370664P P 20020408
WO 2003-US10738 W 20030408

OTHER SOURCE(S): MARPAT 139:350731
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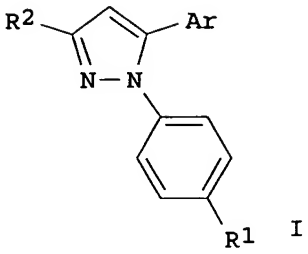

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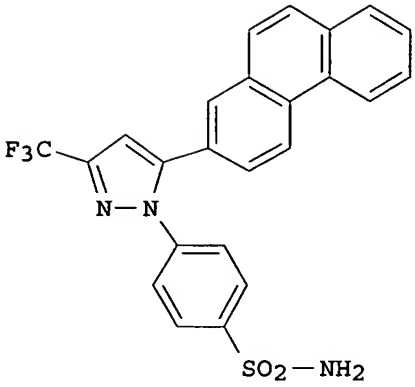


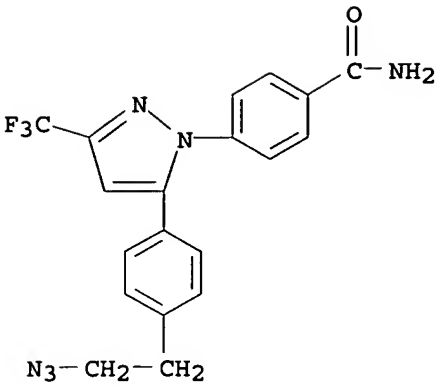
II

AB Title compds. I [wherein R1 = carboxamido; R2 = (halo)alkyl; Ar = (un)substituted Ph biphenyl, naphthyl, anthryl, phenanthrenyl, or fluorenyl; and pharmaceutically acceptable salts thereof] were prepared and tested for their effects on cyclooxygenase-2 (COX-2) activity, the viability of human prostate cancer PC-3 cells, and their ability to induce apoptosis in these cells. For example, Claisen condensation of 2-acetylphenanthrene with Et trifluoroacetate in the presence of NaH afforded the 1,3-keto-enol derivative (95%). Reaction with (4-sulfamoylphenyl)hydrazine•HCl in EtOH gave 4-[5-(2-phenanthrenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (II) in 65% yield. A structure-activity anal. of derivs. of the COX-2 inhibitor celecoxib found no correlation between the COX-2 inhibitory and apoptosis-inducing activities. For instance, increased polarity or bulkiness of the terminal Ph ring reduced the ability of compds. to inhibit COX-2, while a certain degree of bulkiness and hydrophobicity in the substituted Ph ring was highly desirable for apoptosis induction in PC-3 cells. Thus, I are useful for inducing apoptosis in proliferating cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-small cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compds. are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer.

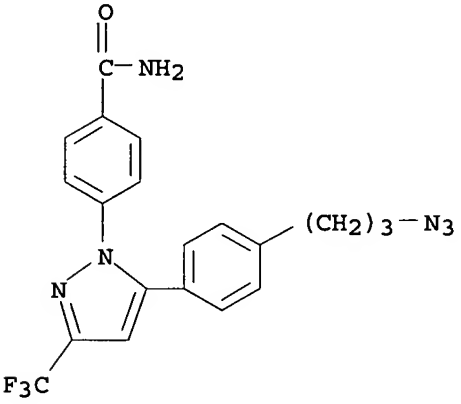
IT **618069-19-5P 618069-20-8P 618069-21-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

RN 618069-19-5 CAPLUS

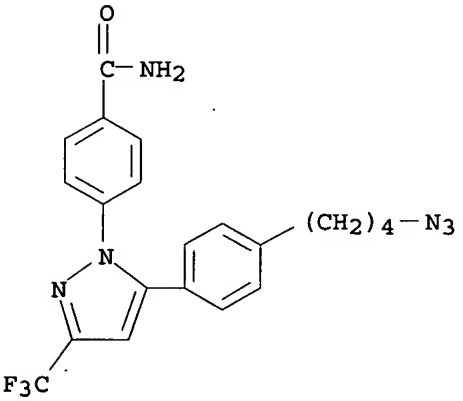
CN Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-20-8 CAPLUS
CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-21-9 CAPLUS
CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:261667 CAPLUS
DOCUMENT NUMBER: 138:287976

10/706,999

TITLE: Preparation of pyrazole amino acid derivatives for increasing endogenous testosterone levels

INVENTOR(S): Brondyk, William H.; McKenna, Sean; Arkinstall, Stephen J.

PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles

SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

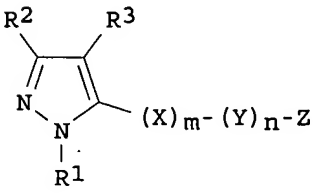
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003026649	A1	20030403	WO 2002-US30801	20020927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2458661	AA	20030403	CA 2002-2458661	20020927
EP 1441724	A1	20040804	EP 2002-766382	20020927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504093	T2	20050210	JP 2003-530286	20020927
US 2004198799	A1	20041007	US 2004-489863	20040324

PRIORITY APPLN. INFO.: US 2001-325470P P 20010927
WO 2002-US30801 W 20020927

OTHER SOURCE(S): MARPAT 138:287976

GI

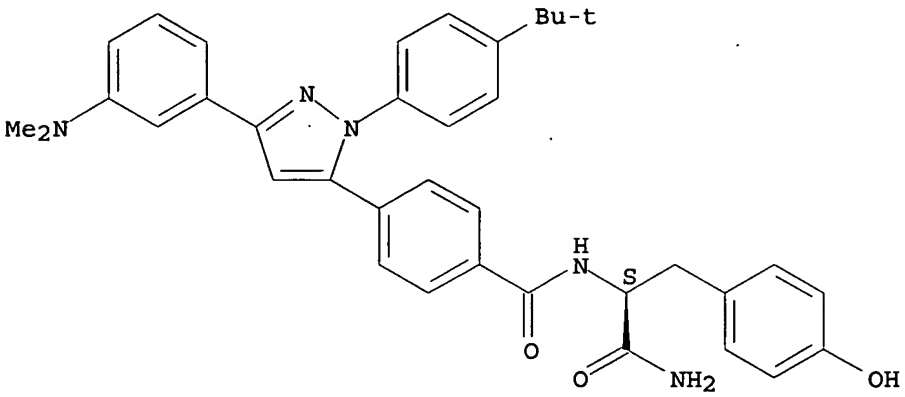


I

AB Pyrazole compds., e.g., I [R1 = (un)substituted alk(en)(yn)yl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicyclyl, heteroaralkyl, or heteroalicyclylalkyl; R2, R3 = H, (un)substituted alk(en)(yn)yl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, or ring groups defined for R1; X = (hetero)alk(en)(yn)ylene or ring groups defined for R1; Y = (un)substituted amino or methylene, CO, SO2; Z = optionally-substituted alkylamino, an amino acid, or a glycine; m, n = 0 or 1] or their pharmaceutically-acceptable salts were prepared for treatment of conditions, disorders or diseases which would benefit patients by increasing endogenous testosterone levels. Thus, in vivo testosterone induction activities for regioisomeric 5-[2-(4-tert-butylphenyl)-5-pyridin-3(or 4)-yl-2H-pyrazol-3-yl]pentanoic acid [1-carbamoyl-2-(4-hydroxyphenyl)ethyl]amide are shown in bar graphs.

IT **373607-61-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole amino acid derivs. for increasing endogenous testosterone levels)
RN 373607-61-5 CAPLUS
CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:850926 CAPLUS
DOCUMENT NUMBER: 135:371991
TITLE: Preparation of pyrazole compounds for treatment of infertility
INVENTOR(S): Shroff, Hitesh; Reddy, Adulla P.; El Tayar, Nabil; Brugger, Nadia; Jorand-Lebrun, Catherine
PATENT ASSIGNEE(S): Serono Reproductive Biology Institute, Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087287	A2	20011122	WO 2001-US16189	20010519
WO 2001087287	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405507	AA	20011122	CA 2001-2405507	20010519
US 2002132844	A1	20020919	US 2001-860658	20010519
US 6914069	B2	20050705		

EP 1282418	A2	20030212	EP 2001-939143	20010519
EP 1282418	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501100	T2	20040115	JP 2001-583755	20010519
AT 302002	E	20050915	AT 2001-939143	20010519
US 2005026985	A1	20050203	US 2004-921471	20040819
PRIORITY APPLN. INFO.:			US 2000-205814P	P 20000519
			US 2001-860658	A1 20010519
			WO 2001-US16189	W 20010519

OTHER SOURCE(S): MARPAT 135:371991

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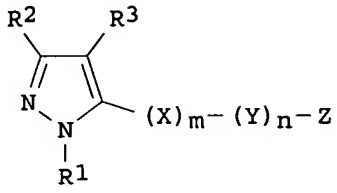

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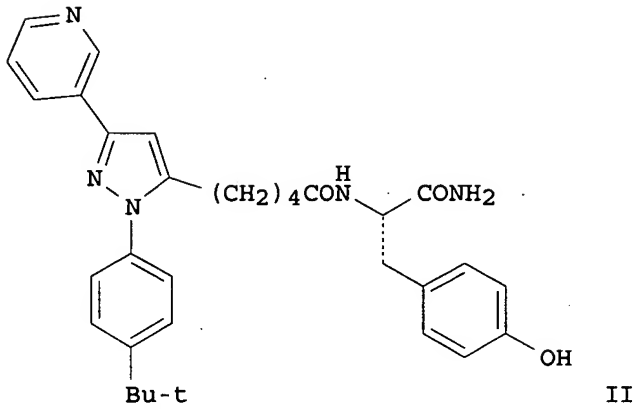


II

AB Substituted pyrazole compds. I [R1 is H, optionally substituted alkyl, alkenyl, alkynyl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; R2, R3 are H, halo, optionally substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, carbocyclic aryl, aralkyl, heteroaryl, heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; X is optionally substituted alkylene, alkenylene, alkynylene, heteroalkylene, heteroalkenylene, heteroalkynynylene, alicyclyl, carbocyclic aryl, heteroalicycloalkyl, heteroaryl, heteroaralkyl,or heteroalicycloalkyl; Y is optionally substituted amino or methylene, carbonyl, sulfonyl; Z is an optionally substituted alkylamine, an amino acid or a glycine; m, n are 0 or 1] or their pharmaceutically acceptable salts were prepared for treatment of mammalian infertility. Thus, tyrosinamide II was prepared by the solid-phase method and shown to be human FSH receptor specific in tests on untransfected CHO parental cells.

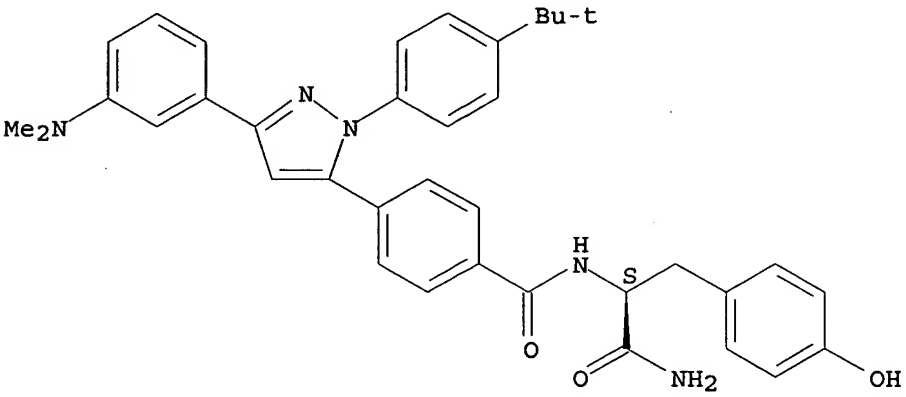
IT **373607-61-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole compds. for treatment of infertility)

RN 373607-61-5 CAPLUS
CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 22 Nov 2005 (20051122/PD)
FILE LAST UPDATED: 22 Nov 2005 (20051122/ED)
HIGHEST GRANTED PATENT NUMBER: US6968571
HIGHEST APPLICATION PUBLICATION NUMBER: US2005257307
CA INDEXING IS CURRENT THROUGH 22 Nov 2005 (20051122/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 22 Nov 2005 (20051122/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2005

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

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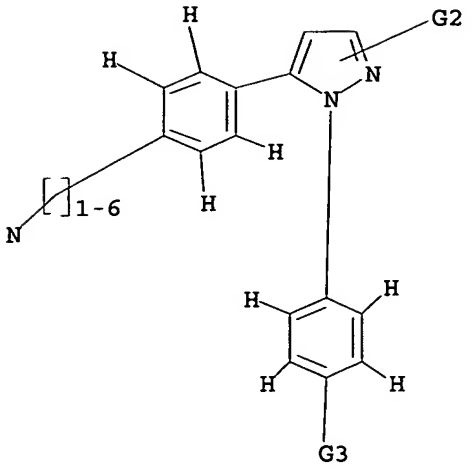
L1 STR



G1 SO2,O,S

G2 C,O,S,N,X,Cb,CF3,OH,CN,NH2

G3 Ak,X,CN,CH,MeO,NH2

Structure attributes must be viewed using STN Express query preparation.
L3 118 SEA FILE=REGISTRY SSS FUL L1
L5 5 SEA FILE=USPATFULL L3

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L5 ANSWER 1 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2005:31548 USPATFULL
TITLE: Pharmaceutically active compounds and methods of use
INVENTOR(S): Shroff, Hitesh, Bedford, MA, UNITED STATES
Reddy, Adulla P., Walpole, MA, UNITED STATES
El Tayar, Nabil, Milton, MA, UNITED STATES
Brugger, Nadia, Boston, MA, UNITED STATES
Jorand-Lebrun, Catherine, Minzier, FRANCE
de Luca, Giampiero, UNITED STATES LR
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005026985	A1	20050203
APPLICATION INFO.:	US 2004-921471	A1	20040819 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-860658, filed on 19 May 2001, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-205814P	20000519 (60)

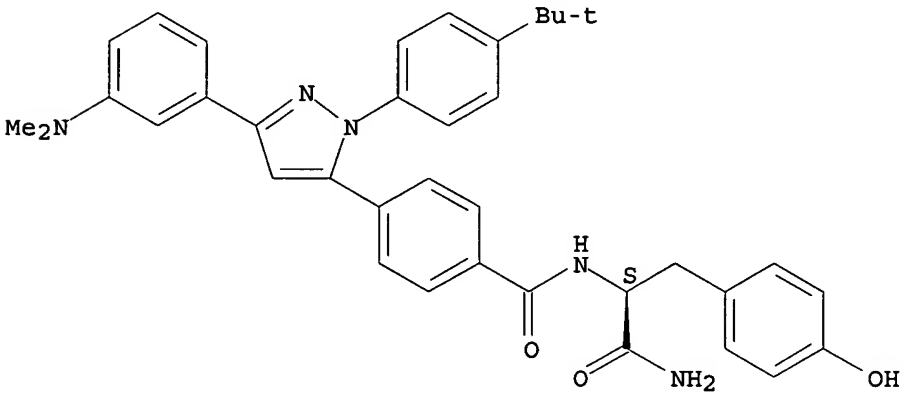
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Dike, Bronstein, Roberts & Cushman, Intellectual Property Practice Group, Edwards & Angell, LLP, P.O. Box 9169, Boston, MA, 02209
NUMBER OF CLAIMS: 36
EXEMPLARY CLAIM: 1
LINE COUNT: 2230
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

10/706,999

AB The invention provides substituted pyrazole compounds, and methods of treatment and pharmaceutical compositions that utilize or comprise one or more such compounds. Compounds of the invention are useful for the treatment of mammalian infertility.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **373607-61-5P**
(preparation of pyrazole compds. for treatment of infertility)
RN 373607-61-5 USPATFULL
CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2004:255269 USPATFULL
TITLE: Methods of increasing endogenous testosterone levels
INVENTOR(S): Brondyk, William H., Mansfield, MA, UNITED STATES
McKenna, Sean, Duxbury, MA, UNITED STATES
Arkinstall, Stephen J., Belmont, MA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004198799	A1	20041007	
APPLICATION INFO.:	US 2004-489863	A1	20040324	(10)
	WO 2002-US30801		20020927	

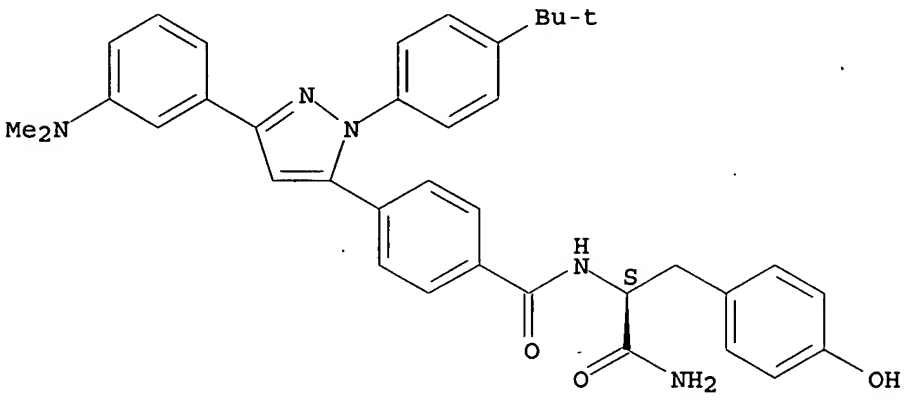
	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-325470P	20010927 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940 DUKE STREET, ALEXANDRIA, VA, 22314
NUMBER OF CLAIMS: 85
EXEMPLARY CLAIM: 1
LINE COUNT: 2372
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to the use of substituted pyrazole compounds to increase endogenous testosterone production. Compounds of the invention are useful for the treatment of conditions, disorders or diseases which would benefit patients by increasing endogenous testosterone levels.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 373607-61-5P
(preparation of pyrazole amino acid derivs. for increasing endogenous testosterone levels)
RN 373607-61-5 USPATFULL
CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 5 USPATFULL on STN

ACCESSION NUMBER:	2004:152253 USPATFULL
TITLE:	Pyrazole derivatives
INVENTOR(S):	Shirai, Fumiyuki, Osaka, JAPAN Azami, Hidenori, Osaka, JAPAN Kayakiri, Natsuko, Osaka, JAPAN Okumura, Kazuo, Osaka, JAPAN Nakamura, Katsuya, Osaka, JAPAN
PATENT ASSIGNEE(S):	FUJISAWA PHARMACEUTICAL CO., LTD., Osaka-shi, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116475	A1	20040617
APPLICATION INFO.:	US 2003-706999	A1	20031114 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	AU 2002-2002953019	20021202
	AU 2002-2002953602	20021230
	AU 2003-2003902015	20030429

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940 DUKE STREET, ALEXANDRIA, VA, 22314
NUMBER OF CLAIMS:	12
EXEMPLARY CLAIM:	1
LINE COUNT:	9237

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula (I): ##STR1##

wherein R.sup.1 is hydrogen or lower alkyl;

R.sup.2 is lower alkyl, etc.;

R.sup.3 is lower alkoxy, etc.;

R.sup.4 is hydroxy, etc.;

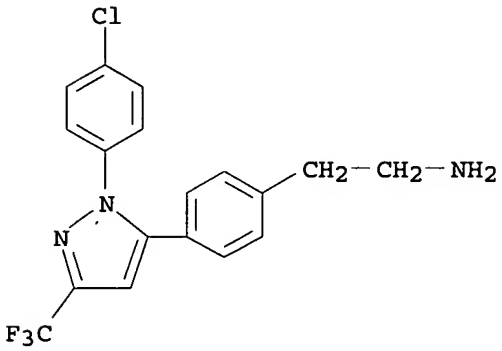
X is O, S, etc.;

Y is CH or N;

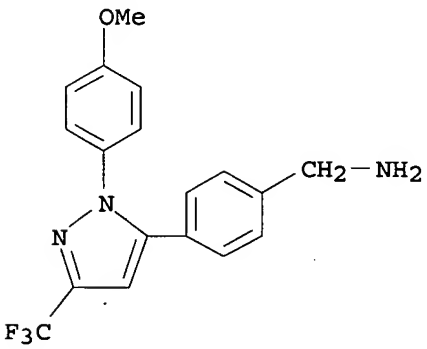
Z is lower alkylene or lower alkenylene; and

m is 0 or 1; or salts thereof, which are useful as a medicament.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 705933-91-1P 705933-95-5P 705933-98-8P
705934-02-7P 705934-22-1P 705934-71-0P
705934-78-7P 705934-81-2P 705934-83-4P
705935-20-2P 705936-18-1P 705937-86-6P
705938-44-9P 705938-83-6P 705938-87-0P
705938-89-2P 705939-15-7P
(preparation of pyrazole derivs. useful as COX-1 inhibitors)
RN 705933-91-1 USPATFULL
CN Benzeneethanamine, 4-[1-(4-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



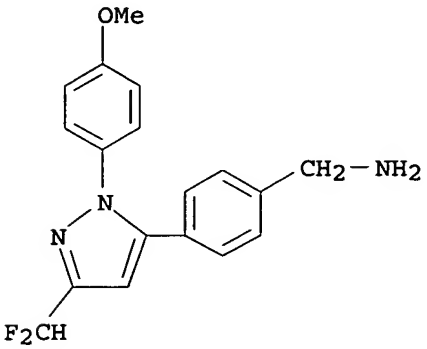
RN 705933-95-5 USPATFULL
CN Benzenemethanamine, 4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

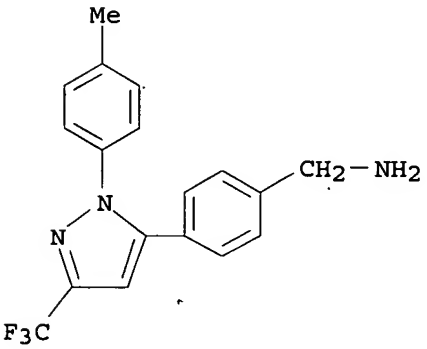
RN 705933-98-8 USPATFULL

CN Benzenemethanamine, 4-[3-(difluoromethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

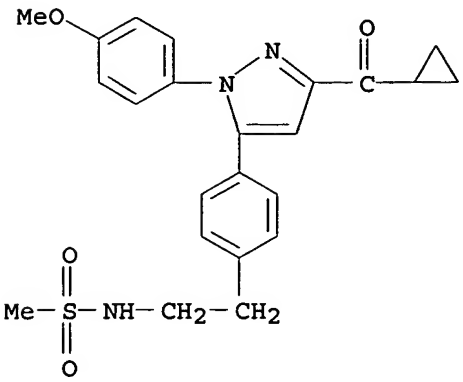
RN 705934-02-7 USPATFULL
CN Benzenemethanamine, 4-[1-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



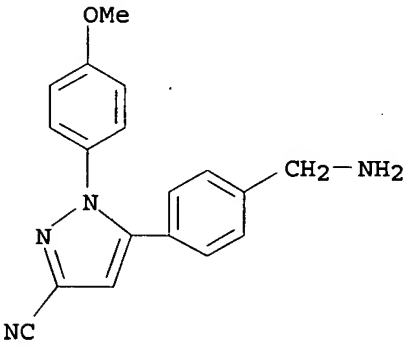
● HCl

RN 705934-22-1 USPATFULL
CN Carbamic acid, [2-[[[4-[1-(4-methoxyphenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

CN Methanesulfonamide, N-[2-[4-[3-(cyclopropylcarbonyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



IT 705940-22-3
(preparation of pyrazole derivs. useful as COX-1 inhibitors)
RN 705940-22-3 USPATFULL
CN 1H-Pyrazole-3-carbonitrile, 5-[4-(aminomethyl)phenyl]-1-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER:	2003:335416 USPATFULL
TITLE:	Compounds and methods for inducing apoptosis in proliferating cells
INVENTOR(S):	Chen, Ching-Shih, Upper Arlington, OH, UNITED STATES Song, Xueqin, Ypsilanti, MI, UNITED STATES Lin, Ho-Pi, Columbus, OH, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003236294	A1	20031225	
APPLICATION INFO.:	US 2003-409502	A1	20030408	(10)

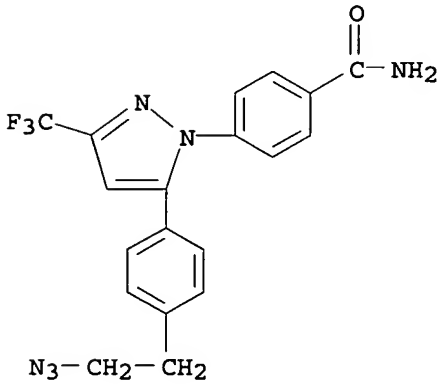
	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-370664P	20020408 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	CALFEE HALTER & GRISWOLD, LLP, 800 SUPERIOR AVENUE, SUITE 1400, CLEVELAND, OH, 44114
NUMBER OF CLAIMS:	28

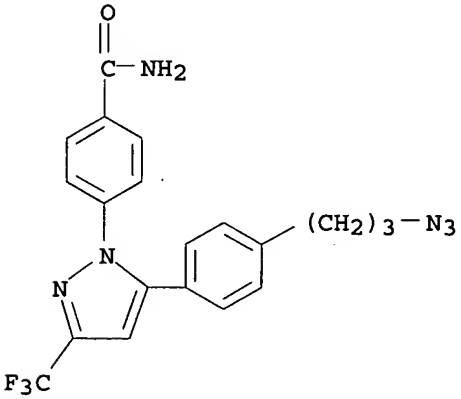
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 12 Drawing Page(s)
LINE COUNT: 2525
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds useful for inducing apoptosis in proliferative cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-smalll cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compounds are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer. Further provided are methods of treating cancer in a subject in need of such treatment using the compounds of the present invention. Further provided are methods for using the compounds of the present invention to treat, inhibit, or delay the onset of cancer in a subject. Further provided are methods of inducing apoptosis in rapidly proliferating cells, particularly, though not necessarily cancer cells, using the compounds of the present invention.

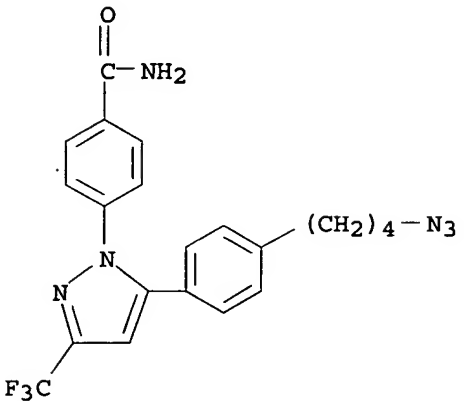
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **618069-19-5P 618069-20-8P 618069-21-9P**
(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)
RN 618069-19-5 USPATFULL
CN Benzamide, 4-[5-[4-(2-azidoethyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-20-8 USPATFULL
CN Benzamide, 4-[5-[4-(3-azidopropyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 618069-21-9 USPATFULL
CN Benzamide, 4-[5-[4-(4-azidobutyl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2002:243653 USPATFULL
TITLE: Pharmaceutically active compounds and methods of use
INVENTOR(S): Shroff, Hitesh, Bedford, MA, UNITED STATES
Reddy, Adulla P., Walpole, MA, UNITED STATES
El Tayar, Nabil, Milton, MA, UNITED STATES
Brugger, Nadia, Boston, MA, UNITED STATES
Jorand-Lebrun, Catherine, Minzier, FRANCE

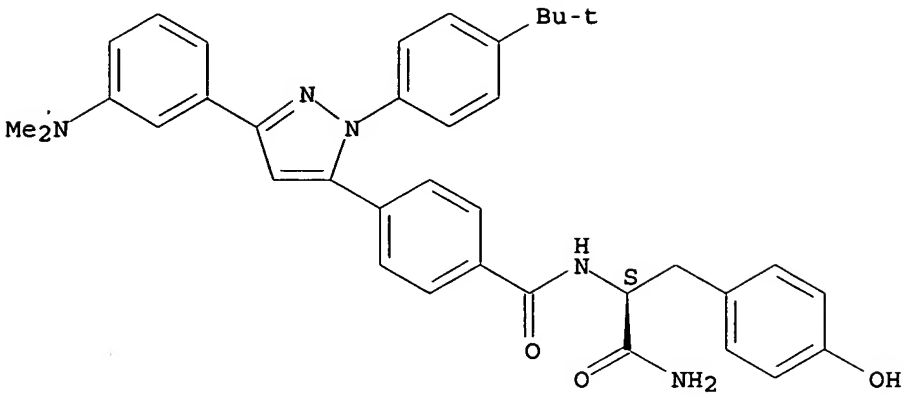
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002132844	A1	20020919
	US 6914069	B2	20050705
APPLICATION INFO.:	US 2001-860658	A1	20010519 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-205814P	20000519 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Dike, Bronstein, Roberts & Cushman, Intellectual Property Patent Practice, EDWARDS & ANGELL, LLP, 130 Water Street, Boston, MA, 02109
NUMBER OF CLAIMS: 56
EXEMPLARY CLAIM: 1
LINE COUNT: 2721
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides substituted pyrazole compounds, and methods of treatment and pharmaceutical compositions that utilize or comprise one or more such compounds. Compounds of the invention are useful for the treatment of mammalian infertility.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **373607-61-5P**
(preparation of pyrazole compds. for treatment of infertility)
RN 373607-61-5 USPATFULL
CN Benzenepropanamide, α-[[4-[3-[3-(dimethylamino)phenyl]-1-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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